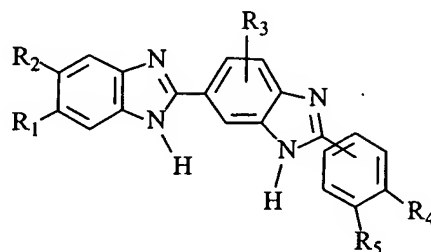


1. A therapeutic method comprising inhibiting cancer cells by administering to a mammal in need of such therapy, an amount of a compound of formula I:



(I)

wherein:

R_1 and R_2 are each independently hydrogen, (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, halo, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, aryl or heteroaryl; or R_1 and R_2 taken together are methylenedioxy; or R_1 and R_2 taken together with the atoms to which they are attached are benzo; wherein any aryl, heteroaryl, or benzo may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo;

R_3 is hydrogen, (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, or halo; and

R_4 and R_5 taken together are a 3, 4, or 5 membered saturated or unsaturated chain comprising members selected from the group consisting of non-peroxide oxygen, sulfur, N(X), and carbon, optionally substituted by oxo; wherein each X is independently absent or is H, O, (C₁-C₆)alkyl, phenyl or benzyl; and wherein at least one of said chain members is an N-H group; or a pharmaceutically acceptable salt thereof;

provided R_4 and R_5 taken together are not -N(H)-C(H)=N-;

effective to inhibit said cancer cells.

2. The method of claim 1 wherein R_1 is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, and halo.

3. The method of claim 1 wherein R_2 is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo.

4. The method of claim 1 wherein R_1 and R_2 taken together are methylenedioxy.

5. The method of claim 1 wherein R_1 and R_2 taken together are benzo, which benzo is optionally substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo.

6. The method of claim 1 wherein R_3 is hydrogen.

7. The method of claim 1 wherein R_3 is (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, or halo.

8. The method of claim 1 wherein R_4 and R_5 taken together are -N(H)-N=N-, -N(H)-N(H)-CH₂-, -N(H)-N(H)-CH₂-CH₂-, -N(H)-CH₂-N(H)-, -N(H)-CH=CH-,

-N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-CH₂-, -N(H)-CH₂-CH₂-CH₂-CH₂-,
 -N(H)-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-O-, -N(H)-CH₂-CH₂-S-,
 -N(H)-CH₂-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-CH₂-O-, -N(H)-CH₂-CH₂-CH₂-S-,
 -N(H)-CH₂-CH₂-N(H)-CH₂-, -N(H)-CH₂-CH₂-O-CH₂-, -N(H)-CH₂-CH₂-S-CH₂-,
 -N(H)-C(=O)-C(=O)-CH₂-, -N(H)-C(=O)-C(=O)-N(H)-, -N(H)-C(=O)-C(=O)-O-,
 -N(H)-C(=O)-C(=O)-S-, -N(H)-C(=O)-CH₂-CH₂-, -N(H)-CH₂-N(H)-C(=O)-,
 -CH₂-S-CH₂-N(H)-, -CH₂-N(H)-CH₂-S-, -CH₂-N(H)-CH₂-, -CH₂-CH₂-N(H)-CH₂-,
 -CH₂-CH₂-CH₂-N(H)-CH₂-, -CH₂-N(H)-CH₂-CH₂-O-, or -CH₂-N(H)-CH₂-CH₂-S-.

9. The method of claim 1 wherein R₄ and R₅ taken together are
 -N(H)-N=N-, -N(H)-CH₂-N(H)-, -N(H)-CH=CH-, -N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-
 CH₂-, -N(H)-CH₂-CH₂-CH₂-CH₂-, -N(H)-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-O-,
 -N(H)-CH₂-CH₂-S-, -N(H)-CH₂-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-CH₂-O-,
 -N(H)-CH₂-CH₂-CH₂-S-, or -N(H)-C(=O)-C(=O)-N(H)-.

10. The method of claim 1 wherein R₄ and R₅ taken together are
 -N(H)-N=N-, -N(H)-C(=O)-N(H)-, -N(H)-CH=CH-, -N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-
 CH₂-, or -N(H)-CH₂-CH₂-N(H)-.

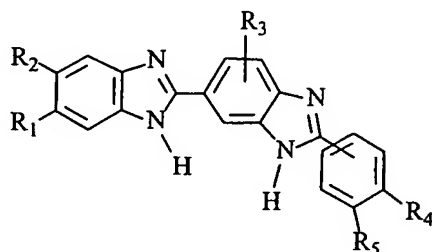
11. The method of claim 1 wherein R₄ and R₅ taken together are
 -N(H)-N=N- or -N(H)-C(=O)-C(=O)-N(H)-.

12. The method of claim 1 wherein R₁ and R₂ are not both hydrogen.

13. The method of claim 1 wherein R₁ and R₂ are each independently halo.

14. The method of claim 1 wherein R₁ and R₂ are each bromo.

15. A method comprising inhibiting cancer cells by contacting said cancer cells with an effective amount of a compound of formula I:



(I)

wherein:

R₁ and R₂ are each independently hydrogen, (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, halo, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, aryl or heteroaryl; or R₁ and R₂ taken together are methylenedioxy; or R₁ and R₂ taken together with the atoms to which they are attached are benzo; wherein any aryl, heteroaryl, or benzo may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo;

R₃ is hydrogen, (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, or halo; and

R₄ and R₅ taken together are a 3, 4, or 5 membered saturated or unsaturated chain comprising members selected from the group consisting of non-peroxide oxygen, sulfur, N(X), and carbon, optionally substituted by oxo; wherein each X is independently absent or is H, O, (C₁-C₆)alkyl, phenyl or benzyl; and wherein at least one of said chain members is an N-H group; or a pharmaceutically acceptable salt thereof;

provided R₄ and R₅ taken together are not -N(H)-C(H)=N-.

16. The method of claim 15 wherein R_1 is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, and halo.

17. The method of claim 15 wherein R_2 is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo.

18. The method of claim 15 wherein R_1 and R_2 taken together are methylenedioxy.

19. The method of claim 15 wherein R_1 and R_2 taken together are benzo, which benzo is optionally substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₃-C₆)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, and halo.

20. The method of claim 15 wherein R_3 is hydrogen.

21. The method of claim 15 wherein R_3 is (C₁-C₆)alkoxy, nitro, hydroxy, halo(C₁-C₆)alkyl, trifluoromethoxy, (C₁-C₆)alkanoyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-C₆)alkylthio, (C₂-C₆)alkanoyloxy, or halo.

22. The method of claim 15 wherein R_4 and R_5 taken together are -N(H)-N=N-, -N(H)-N(H)-CH₂-, -N(H)-N(H)-CH₂-CH₂-, -N(H)-CH₂-N(H)-, -N(H)-CH=CH-,

-N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-CH₂-, -N(H)-CH₂-CH₂-CH₂-CH₂-,
 -N(H)-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-O-, -N(H)-CH₂-CH₂-S-,
 -N(H)-CH₂-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-CH₂-O-, -N(H)-CH₂-CH₂-CH₂-S-,
 -N(H)-CH₂-CH₂-N(H)-CH₂-, -N(H)-CH₂-CH₂-O-CH₂-, -N(H)-CH₂-CH₂-S-CH₂-,
 -N(H)-C(=O)-C(=O)-CH₂-, -N(H)-C(=O)-C(=O)-N(H)-, -N(H)-C(=O)-C(=O)-O-,
 -N(H)-C(=O)-C(=O)-S-, -N(H)-C(=O)-CH₂-CH₂-, -N(H)-CH₂-N(H)-C(=O)-,
 -CH₂-S-CH₂-N(H)-, -CH₂-N(H)-CH₂-S-, -CH₂-N(H)-CH₂-, -CH₂-CH₂-N(H)-CH₂-,
 -CH₂-CH₂-CH₂-N(H)-CH₂-, -CH₂-N(H)-CH₂-CH₂-O-, or -CH₂-N(H)-CH₂-CH₂-S-.

23. The method of claim 15 wherein R₄ and R₅ taken together are

-N(H)-N=N-, -N(H)-CH₂-N(H)-, -N(H)-CH=CH-, -N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-
 CH₂-, -N(H)-CH₂-CH₂-CH₂-CH₂-, -N(H)-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-O-,
 -N(H)-CH₂-CH₂-S-, -N(H)-CH₂-CH₂-CH₂-N(H)-, -N(H)-CH₂-CH₂-CH₂-O-,
 -N(H)-CH₂-CH₂-CH₂-S-, or -N(H)-C(=O)-C(=O)-N(H)-.

24. The method of claim 15 wherein R₄ and R₅ taken together are

-N(H)-N=N-, -N(H)-C(=O)-N(H)-, -N(H)-CH=CH-, -N(H)-CH₂-CH₂-, -N(H)-CH₂-CH₂-
 CH₂-, or -N(H)-CH₂-CH₂-N(H)-.

25. The method of claim 15 wherein R₄ and R₅ taken together are

-N(H)-N=N- or -N(H)-C(=O)-C(=O)-N(H)-.

26. The method of claim 15 wherein R₁ and R₂ are not both hydrogen.

27. The method of claim 15 wherein R₁ and R₂ are each independently halo.

28. The method of claim 15 wherein R₁ and R₂ are each bromo.